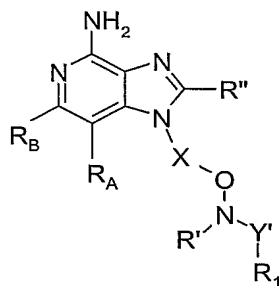


WHAT IS CLAIMED IS:

1. A compound of the formula (I):



I

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

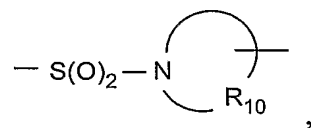
a bond,

-C(O)-,

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

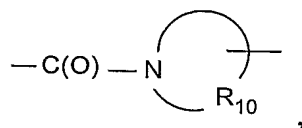
-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

5 R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

10 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

15 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

hydroxyl,

alkyl,

20 haloalkyl,

hydroxyalkyl,

alkoxy,

dialkylamino,

-S(O)<sub>0-2</sub>-alkyl,

25 -S(O)<sub>0-2</sub>-aryl,

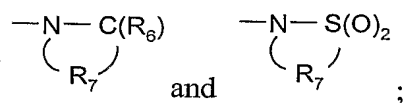
-NH-S(O)<sub>2</sub>-alkyl,

-NH-S(O)<sub>2</sub>-aryl,

haloalkoxy,

halogen,  
nitrile,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



$R_A$  and  $R_B$  are each independently selected from the group consisting of:

hydrogen,  
halogen,  
alkyl,  
alkenyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R''' groups;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused 5 to 7 membered saturated

ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

5                   halogen,  
                  hydroxyl,  
                  alkyl,  
                  alkenyl,  
                  haloalkyl,  
                  alkoxy,  
10                  alkylthio, and  
                  -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

15                  each R<sub>8</sub> is independently selected from the group consisting of hydrogen,  
C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

                  each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

                  R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

20                  R<sub>10</sub> is C<sub>3-8</sub> alkylene;

                  R'' is hydrogen or a non-interfering substituent; and

                  each R''' is a non-interfering substituent;

or a pharmaceutically acceptable salt thereof.

25                  2.       The compound or salt of claim 1 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

                  3.       The compound or salt of claim 2 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

30

4. The compound or salt of any one of claims 1 through 3 wherein R' is selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.
5. The compound or salt of any one of claims 1 through 4 wherein Y' is a bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.
6. The compound or salt of any one of claims 1 through 4 wherein Y' is -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-.
7. The compound or salt of any one of claims 1 through 4 or 6 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
8. The compound or salt of claim 7 wherein R<sub>1</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and pyridyl.
9. The compound or salt of any one of claims 1 through 4 or 6 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
10. The compound or salt of claim 9 wherein R<sub>1</sub> is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
11. The compound or salt of any one of claims 1 through 10 wherein:

R" is selected from the group consisting of:

-R<sub>4</sub>,

$$-X'-R_4,$$

-X'-Y-R<sub>4</sub>, and

5 -X'-R<sub>5</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O-

10 groups;

Y is selected from the group consisting of:

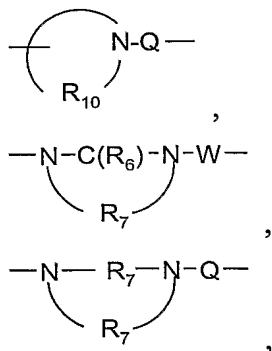
$$-S(O)_{0-2-},$$
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$

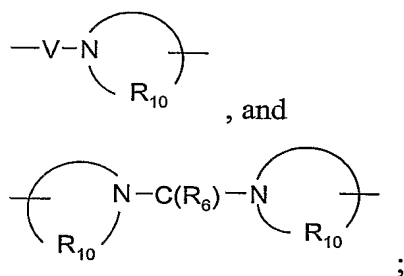
-C(R<sub>6</sub>)-,

15  $-\text{C}(\text{R}_6)-\text{O}-$ ,

$$-\text{O}-\text{C}(\text{R}_6)-,$$
$$-\text{O}-\text{C}(\text{O})-\text{O}-,$$
$$-\text{N}(\text{R}_8)-\text{Q}-,$$
$$-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$

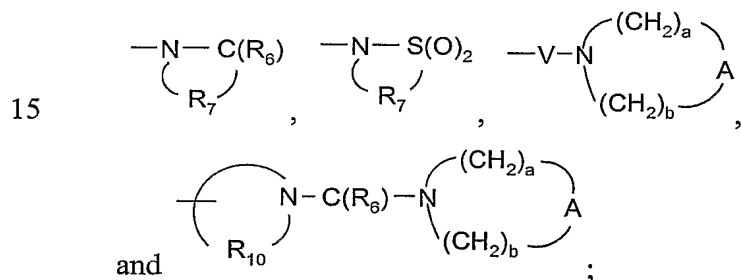
20  $\text{-O-C(R}_6\text{)-N(R}_8\text{)-}$ ,

$$-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-,$$




R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl,  
 aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 5 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl,  
 alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,  
 heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl  
 groups can be unsubstituted or substituted by one or more substituents  
 independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl,  
 10 haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy,  
 arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl,  
 amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of  
 alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen,  
 20 C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-,  
 5 -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,  
 -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤  
 10 7.

12. The compound or salt of claim 11 wherein R" is hydrogen, alkoxyalkylenyl,  
 -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 15 -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-; and R<sub>4</sub> is alkyl.

13. The compound or salt of claim 12 wherein R" is selected from the group  
 consisting of hydrogen, alkyl, and alkoxyalkylenyl.

20 14. The compound or salt of claim 13 wherein R" is selected from the group  
 consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl,  
 and methoxymethyl.

25 15. The compound or salt of claim 11 wherein R" is selected from the group  
 consisting of:

hydrogen,  
 alkyl,  
 alkenyl,  
 aryl,  
 30 heteroaryl,



heterocyclyl,  
alkylene-Y''-alkyl,  
alkylene-Y''-alkenyl,  
alkylene-Y''-aryl, and

5 alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:

hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
10 -C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
aryl,  
heteroaryl,  
15 heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

wherein:

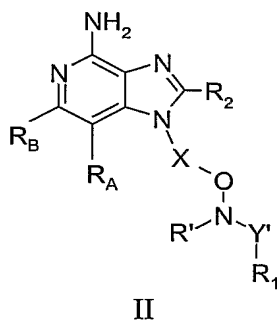
Y'' is -O- or -S(O)<sub>0-2</sub>-; and

20 each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

16. The compound or salt of any one of claims 1 through 15 wherein R<sub>A</sub> and R<sub>B</sub>  
form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl ring or  
25 heteroaryl ring is unsubstituted.

17. The compound or salt of any one of claims 1 through 15 wherein R<sub>A</sub> and R<sub>B</sub>  
form a fused 5 to 7 membered saturated ring, optionally containing one N, wherein  
the saturated ring is unsubstituted.

18. A compound of the formula (II):



5 wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

10 a bond,

-C(O)-,

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

15  $\text{— S(O)}_2\text{— N} \begin{array}{c} \text{---} \\ \text{---} \end{array} \text{R}_{10}$  ,

-C(O)-O-,

-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

20 -C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,

$\text{— C(O) — N} \begin{array}{c} \text{---} \\ \text{---} \end{array} \text{R}_{10}$  ,

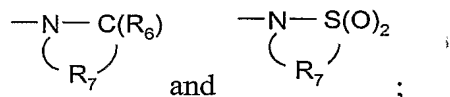
-C(O)-C(O)-,  
 -C(O)-C(O)-O-, and  
 -C(=NH)-N(R<sub>8</sub>)-;

R<sub>1</sub> and R' are independently selected from the group consisting of:

- 5           hydrogen,  
            alkyl,  
            alkenyl,  
            aryl,  
            arylalkylenyl,  
 10          heteroaryl,  
            heteroarylalkylenyl,  
            heterocyclyl,  
            heterocyclylalkylenyl, and  
            alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
 15          heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents  
            selected from the group consisting of:  
            hydroxyl,  
            alkyl,  
            haloalkyl,  
 20          hydroxyalkyl,  
            alkoxy,  
            dialkylamino,  
            -S(O)<sub>0-2</sub>-alkyl,  
            -S(O)<sub>0-2</sub>-aryl,  
 25          -NH-S(O)<sub>2</sub>-alkyl,  
            -NH-S(O)<sub>2</sub>-aryl,  
            haloalkoxy,  
            halogen,  
            nitrile,  
 30          nitro,

aryl,  
 heteroaryl,  
 heterocyclyl,  
 aryloxy,  
 5 arylalkyleneoxy,  
 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-C(O)-alkyl, and  
 10 -C(O)-alkyl;

or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



R<sub>A</sub> and R<sub>B</sub> are each independently selected from the group consisting of:  
 15 hydrogen,  
 halogen,  
 alkyl,  
 alkenyl,  
 alkoxy,  
 20 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups,  
 25 or substituted by one R<sub>3</sub> group, or substituted by one R<sub>3</sub> group and one R group;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,  
hydroxyl,  
alkyl,  
5 alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

10 R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,  
-X'-R<sub>4</sub>,  
-X'-Y-R<sub>4</sub>, and  
-X'-R<sub>5</sub>;

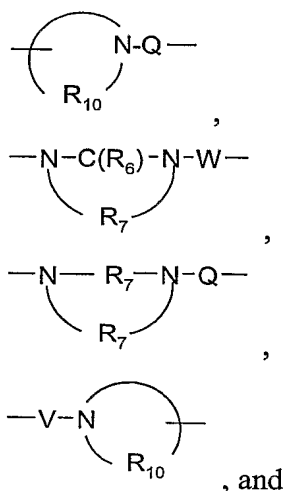
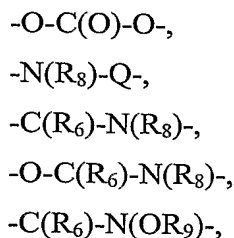
15 R<sub>3</sub> is selected from the group consisting of:

-Z-R<sub>4</sub>,  
-Z-X'-R<sub>4</sub>,  
-Z-X'-Y-R<sub>4</sub>, and  
-Z-X'-R<sub>5</sub>;

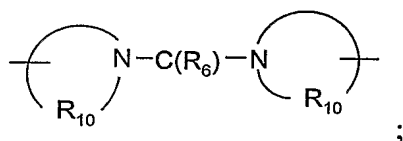
20 each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

25 each Y is independently selected from the group consisting of:

-S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
30 -O-C(R<sub>6</sub>)-,



, and



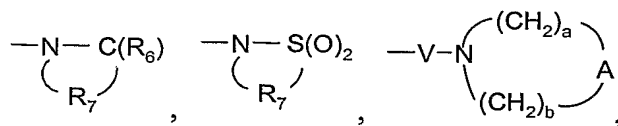
;

Z is a bond or  $-\text{O}-$ ;

each  $\text{R}_4$  is independently selected from the group consisting of hydrogen,  
 alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl,  
 heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and  
 heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl,  
 aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,  
 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be  
 unsubstituted or substituted by one or more substituents independently selected from  
 the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen,  
 nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl,  
 heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino,

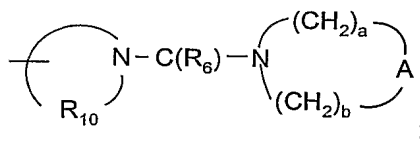
dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each  $R_5$  is independently selected from the group consisting of:



5

and



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen,

C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

10

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

15

each A is independently selected from the group consisting of -O-, -C(O)-,

$$-\text{CH}_2-, -\text{S}(\text{O})_{0-2}-, \text{ and } -\text{N}(\text{R}_4)-;$$

each Q is independently selected from the group consisting of a bond,

-C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

20

each  $W$  is independently selected from the group consisting of a bond,

-C(O)-, and -S(O)<sub>2</sub>-;

each V is independently selected from the group consisting of -C(R<sub>6</sub>)-,

-O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b$  is  $\leq$

25

7;

or a pharmaceutically acceptable salt thereof.

19. The compound or salt of claim 18 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.
- 5 20. The compound or salt of claim 19 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.
21. The compound or salt of any one of claims 18 through 20 wherein R' is selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.
- 10 22. The compound or salt of any one of claims 18 through 21 wherein Y' is a bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.
23. The compound or salt of any one of claims 18 through 21 wherein Y' is  
15 -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-.
24. The compound or salt of any one of claims 18 through 21 or 23 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group  
20 consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
25. The compound or salt of claim 24 wherein R<sub>1</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and pyridyl.
- 25 26. The compound or salt of any one of claims 18 through 21 or 23 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group  
30 consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.



27. The compound or salt of claim 26 wherein  $R_1$  is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
28. The compound or salt of any one of claims 18 through 27 wherein  $R_2$  is hydrogen, alkoxyalkylenyl,  $-R_4$ ,  $-X'-R_4$ , or  $-X'-Y-R_4$ ; wherein  $X'$  is  $C_{1-2}$  alkylene;  $Y$  is  $-S(O)_{0-2}-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-$ ,  $-C(R_6)-O-$ ,  $-O-C(R_6)-$ ,  $-O-C(O)-O-$ ,  $-N(R_8)-Q-$ ,  $-C(R_6)-N(R_8)-$ ,  $-O-C(R_6)-N(R_8)-$ , or  $-C(R_6)-N(OR_9)-$ ; and  $R_4$  is alkyl.
29. The compound or salt of claim 28 wherein  $R_2$  is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.
30. The compound or salt of claim 29 wherein  $R_2$  is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.
31. The compound or salt of any one of claims 18 through 27 wherein  $R_2$  is selected from the group consisting of:
- hydrogen,
  - alkyl,
  - alkenyl,
  - aryl,
  - heteroaryl,
  - heterocyclyl,
  - alkylene- $Y''$ -alkyl,
  - alkylene- $Y''$ -alkenyl,
  - alkylene- $Y''$ -aryl, and

alkyl or alkenyl substituted by one or more substituents selected from the group consisting of:

- 5 hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
-C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
10 aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

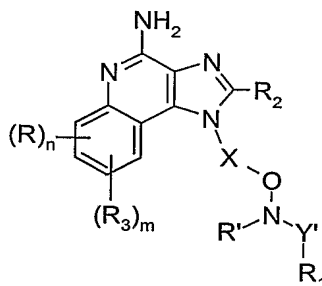
wherein:

- 15 Y" is -O- or -S(O)<sub>0-2</sub>-; and  
each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

20 32. The compound or salt of any one of claims 18 through 31 wherein R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one N, wherein the aryl ring or heteroaryl ring is unsubstituted.

25 33. The compound or salt of any one of claims 18 through 31 wherein R<sub>A</sub> and R<sub>B</sub> form a fused 5 to 7 membered saturated ring, optionally containing one N, wherein the saturated ring is unsubstituted.

34. A compound of the formula (III):



III

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
 5 -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

a bond,

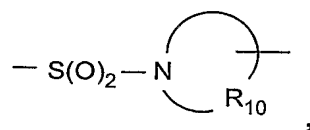
-C(O)-,

10

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

15

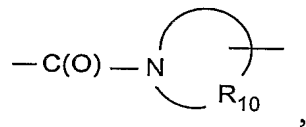
-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

each R is independently selected from the group consisting of:

halogen,

5 hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

15 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

20 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

25 hydroxyl,

alkyl,

haloalkyl,

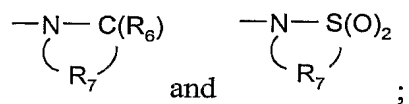
hydroxyalkyl,

alkoxy,

30 dialkylamino,

5 -S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
nitrile,  
nitro,  
aryl,  
10 heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
15 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

20 or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



$R_2$  is selected from the group consisting of:

25                -R<sub>4</sub>,  
                    -X'-R<sub>4</sub>,  
                    -X'-Y-R<sub>4</sub>, and  
                    -X'-R<sub>5</sub>;

$R_3$  is selected from the group consisting of:

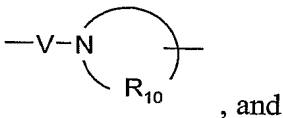
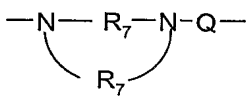
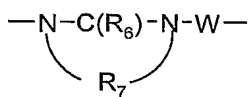
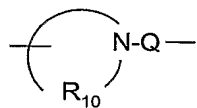
-Z-R<sub>4</sub>,

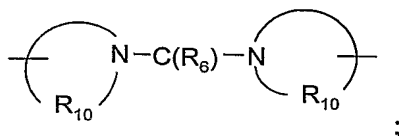
-Z-X'-R<sub>4</sub>,  
 -Z-X'-Y-R<sub>4</sub>, and  
 -Z-X'-R<sub>5</sub>;

each X' is independently selected from the group consisting of alkylene,  
 5 alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the  
 alkylene, alkenylene, and alkynylene groups can be optionally interrupted or  
 terminated with arylene, heteroarylene, or heterocyclylene, and optionally  
 interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

10 -S(O)<sub>0-2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 -C(R<sub>6</sub>)-O-,  
 -O-C(R<sub>6</sub>)-,  
 15 -O-C(O)-O-,  
 -N(R<sub>8</sub>)-Q-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,

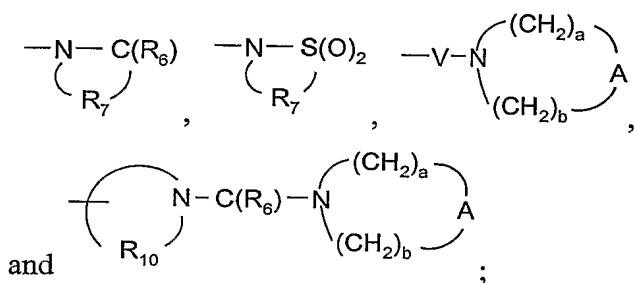




Z is a bond or -O-;

each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R<sub>5</sub> is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

$R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each  $R_{10}$  is independently  $C_{3-8}$  alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;

n is an integer from 0 to 4; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;  
or a pharmaceutically acceptable salt thereof.

35. The compound or salt of claim 34 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

36. The compound or salt of claim 35 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

37. The compound or salt of any one of claims 34 through 36 wherein R' is selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.

38. The compound or salt of any one of claims 34 through 37 wherein Y' is a bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.



39. The compound or salt of any one of claims 34 through 37 wherein Y' is a bond and R' and R<sub>1</sub> are each hydrogen.
40. The compound or salt of any one of claims 34 through 37 wherein Y' is  
5 -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-.
41. The compound or salt of any one of claims 34 through 37 or 40 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group  
10 consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
42. The compound or salt of claim 41 wherein R<sub>1</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and pyridyl.  
15
43. The compound or salt of any one of claims 34 through 37 or 40 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,  
20 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
44. The compound or salt of claim 43 wherein R<sub>1</sub> is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,  
25 -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
45. The compound or salt of any one of claims 34 through 44 wherein R<sub>2</sub> is hydrogen, alkoxyalkylenyl, -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0.2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-,  
30 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)--; and R<sub>4</sub> is alkyl.

46. The compound or salt of claim 45 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

5 47. The compound or salt of claim 46 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

10 48. The compound or salt of any one of claims 34 through 44 wherein R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
alkenyl,  
aryl,  
15 heteroaryl,  
heterocyclyl,  
alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and

20 alkyl or alkenyl substituted by one or more substituents selected from the group consisting of:

hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
25 -C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
aryl,  
heteroaryl,  
30 heterocyclyl,

-C(O)-aryl, and  
-C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)<sub>0-2</sub>; and

5 each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

49. The compound or salt of any one of claims 34 through 48 wherein m and n  
are each 0.

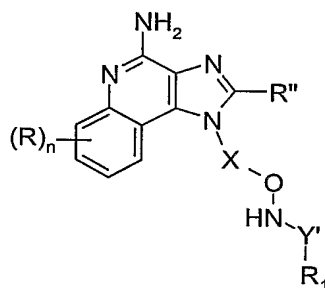
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50. The compound or salt of any one of claims 34 through 48 wherein m is 1,  
and R<sub>3</sub> is phenyl, pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl,  
2-ethoxyphenyl, 3-(morpholine-4-carbonyl)phenyl, or  
3-(*N,N*-dimethylaminocarbonyl)phenyl.

15

51. The compound or salt of any one of claims 34 through 48 or 50 wherein  
each R is independently selected from the group consisting of alkyl, alkoxy,  
halogen, hydroxyl, and trifluoromethyl.

20 52. A compound of the formula (IV):



IV

wherein:

25 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and

-CH(R<sub>9a</sub>)-alkenylene-;

Y' is selected from the group consisting of:

- a bond,
- C(O)-,
- 5       -C(S)-,
- S(O)<sub>2</sub>-,
- S(O)<sub>2</sub>-N(R<sub>8a</sub>)-,
- C(O)-O-,
- C(O)-N(R<sub>8a</sub>)-,
- 10       -C(S)-N(R<sub>8a</sub>)-,
- C(O)-N(R<sub>8a</sub>)-S(O)<sub>2</sub>-,
- C(O)-N(R<sub>8a</sub>)-C(O)-,
- C(S)-N(R<sub>8a</sub>)-C(O)-, and
- C(O)-C(O)-O-;

15       R<sub>1</sub> is selected from the group consisting of:

- hydrogen,
- alkyl,
- alkenyl,
- aryl,
- 20       alkylene-aryl,
- alkylene-heteroaryl,
- alkylene-heterocyclyl,
- heteroaryl,
- heterocyclyl, and
- 25       alkyl, alkenyl, aryl, arylalkylenyl, heteroarylalkylenyl,
- heterocyclylalkylenyl, heteroaryl or heterocyclyl, substituted by one or more
- substituents selected from the group consisting of:

- hydroxyl,
- alkyl,
- 30       haloalkyl,

hydroxyalkyl,  
 -O-alkyl,  
 -S(O)<sub>0-2</sub>-alkyl,  
 -S(O)<sub>0-2</sub>-aryl,  
 5 -O-haloalkyl,  
 halogen,  
 nitrile,  
 nitro,  
 aryl,  
 10 heteroaryl,  
 heterocyclyl,  
 -O-aryl,  
 -O-alkylene-aryl,  
 -C(O)-O-alkyl,  
 15 -C(O)-N(R<sub>8a</sub>)<sub>2</sub>,  
 -N(R<sub>8a</sub>)-C(O)-alkyl,  
 -O-C(O)-alkyl, and  
 -C(O)-alkyl;

each R and R" are independently selected from the group consisting of  
 20 hydrogen and non-interfering substituents;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
 be optionally interrupted by one or more -O- groups;

each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
 C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl; and

25 n is an integer from 0 to 4;  
 or a pharmaceutically acceptable salt thereof.

53. The compound or salt of claim 52 wherein Y' is -C(O)-, -S(O)<sub>2</sub>-, or  
 -C(O)-N(R<sub>8a</sub>)-.

30

54. The compound or salt of claim 52 or 53 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

55. The compound or salt of claim 52 or 53 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

56. The compound or salt of claim 55 wherein R<sub>1</sub> is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

57. The compound or salt of any one of claims 52 through 56 wherein X is -CH(R<sub>9a</sub>)-C<sub>1-10</sub> alkylene-.

58. The compound or salt of claim 57 wherein X is propylene or butylene.

59. The compound or salt of any one of claims 52 through 58 wherein each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl.

60. The compound or salt of any one of claims 52 through 59 wherein R" is selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 5 alkylene-Y"-alkyl,  
 alkylene-Y"-alkenyl,  
 alkylene-Y"-aryl, and  
 alkyl or alkenyl substituted by one or more substituents selected from  
 the group consisting of:  
 10 hydroxyl,  
 halogen,  
 -N(R<sub>8a</sub>)<sub>2</sub>,  
 -C(O)-C<sub>1-10</sub> alkyl,  
 -C(O)-O-C<sub>1-10</sub> alkyl,  
 15 -N<sub>3</sub>,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 -C(O)-aryl, and  
 20 -C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)<sub>0-2</sub>; and  
 each R<sub>8a</sub> is independently selected from the group consisting of  
 hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

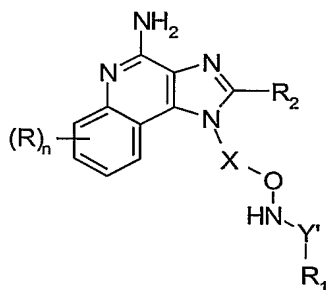
25

61. The compound or salt of claim 60 wherein R" is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

62. The compound or salt of any one of claims 52 through 61 wherein n is 0.

30

63. A compound of the formula (V):



V

5 wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-;

Y' is selected from the group consisting of:

- a bond,
- 10 -C(O)-,
- C(S)-,
- S(O)<sub>2</sub>-,
- S(O)<sub>2</sub>-N(R<sub>8a</sub>)-,
- C(O)-O-,
- 15 -C(O)-N(R<sub>8a</sub>)-,
- C(S)-N(R<sub>8a</sub>)-,
- C(O)-N(R<sub>8a</sub>)-S(O)<sub>2</sub>-,
- C(O)-N(R<sub>8a</sub>)-C(O)-,
- C(S)-N(R<sub>8a</sub>)-C(O)-, and
- 20 -C(O)-C(O)-O-;

R<sub>1</sub> is selected from the group consisting of:

- hydrogen,
- alkyl,
- alkenyl,
- 25 aryl,



alkylene-aryl,  
alkylene-heteroaryl,  
alkylene-heterocyclyl,  
heteroaryl,  
5 heterocyclyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroarylalkylenyl,  
heterocyclylalkylenyl, heteroaryl or heterocyclyl, substituted by one or more  
substituents selected from the group consisting of:  
hydroxyl,  
10 alkyl,  
haloalkyl,  
hydroxyalkyl,  
-O-alkyl,  
-S(O)<sub>0-2</sub>-alkyl,  
15 -S(O)<sub>0-2</sub>-aryl,  
-O-haloalkyl,  
halogen,  
nitrile,  
nitro,  
20 aryl,  
heteroaryl,  
heterocyclyl,  
-O-aryl,  
-O-alkylene-aryl,  
25 -C(O)-O-alkyl,  
-C(O)-N(R<sub>8a</sub>)<sub>2</sub>,  
-N(R<sub>8a</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl;

R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
 5 alkyl,  
 alkenyl,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 10 alkylene-Y"-alkyl,  
 alkylene-Y"-alkenyl,  
 alkylene-Y"-aryl, and  
 alkyl or alkenyl substituted by one or more substituents selected from

the group consisting of:  
 15 hydroxyl,  
 halogen,  
 -N(R<sub>8a</sub>)<sub>2</sub>,  
 -C(O)-C<sub>1-10</sub> alkyl,  
 -C(O)-O-C<sub>1-10</sub> alkyl,  
 20 -N<sub>3</sub>,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 -C(O)-aryl, and  
 25 -C(O)-heteroaryl;

Y" is -O- or -S(O)<sub>0-2</sub>;

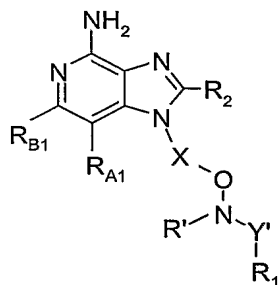
each R<sub>8a</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
 30 be optionally interrupted by one or more -O- groups; and

n is an integer from 0 to 4;  
or a pharmaceutically acceptable salt thereof.

64. A compound of the formula (VI):

5



VI

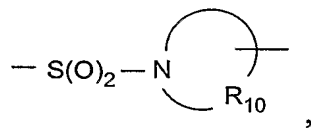
wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
-CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally  
interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

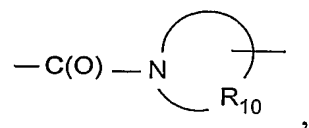
a bond,  
-C(O)-,  
-C(S)-,  
-S(O)<sub>2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

15



20

-C(O)-O-,  
-C(O)-N(R<sub>8</sub>)-,  
-C(S)-N(R<sub>8</sub>)-,  
-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,  
-C(O)-N(R<sub>8</sub>)-C(O)-,  
-C(S)-N(R<sub>8</sub>)-C(O)-,



$-\text{C}(\text{O})-\text{C}(\text{O})-$ ,

$-\text{C}(\text{O})-\text{C}(\text{O})-\text{O}-$ , and

$-\text{C}(=\text{NH})-\text{N}(\text{R}_8)-$ ;

5  $\text{R}_1$  and  $\text{R}'$  are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

10 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

15 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

hydroxyl,

alkyl,

20 haloalkyl,

hydroxyalkyl,

alkoxy,

dialkylamino,

$-\text{S}(\text{O})_{0-2}$ -alkyl,

25  $-\text{S}(\text{O})_{0-2}$ -aryl,

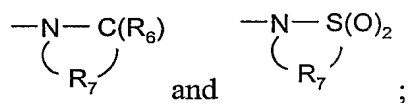
$-\text{NH}-\text{S}(\text{O})_2$ -alkyl,

$-\text{NH}-\text{S}(\text{O})_2$ -aryl,

haloalkoxy,

halogen,  
nitrile,  
nitro,  
aryl,  
5 heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
10 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

15 or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



$R_{A1}$  and  $R_{B1}$  are each independently selected from the group consisting of:

hydrogen,  
halogen,  
alkyl,  
alkenyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

25 R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,  
-X'-R<sub>4</sub>,  
-X'-Y-R<sub>4</sub>, and

-X'-R<sub>5</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)<sub>0-2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-,

-C(R<sub>6</sub>)-O-,

-O-C(R<sub>6</sub>)-,

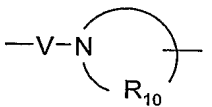
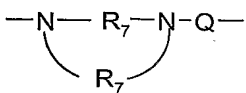
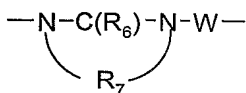
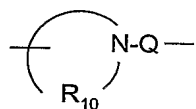
-O-C(O)-O-,

-N(R<sub>8</sub>)-Q-,

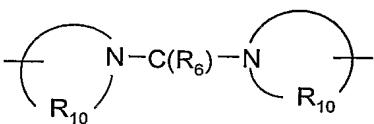
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



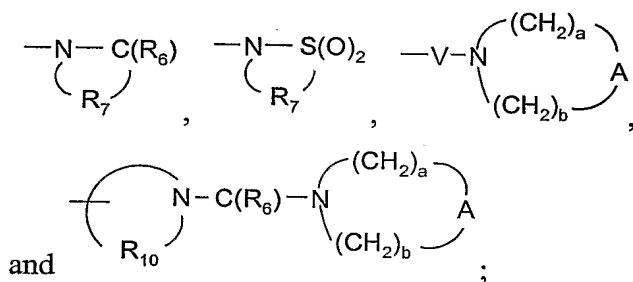
, and



;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



15 each R<sub>6</sub> is independently selected from the group consisting of =O and =S;  
each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;  
each R<sub>8</sub> is independently selected from the group consisting of hydrogen,  
C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;  
each R<sub>9</sub> is independently selected from the group consisting of hydrogen and  
20 alkyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

25 A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-,

-S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-; and

5           a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

or a pharmaceutically acceptable salt thereof.

65.    The compound or salt of claim 64 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein  
10   the alkylene is optionally interrupted by one or more -O- groups.

66.    The compound or salt of claim 65 wherein X is -C<sub>3-5</sub> alkylene- or  
-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

15   67.    The compound or salt of any one of claims 64 through 66 wherein R' is selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.

68.    The compound or salt of any one of claims 64 through 67 wherein Y' is a  
bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.

20   69.    The compound or salt of any one of claims 64 through 67 wherein Y' is -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-.

70.    The compound or salt of any one of claims 64 through 67 or 69 wherein R<sub>1</sub>  
25   is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.



71. The compound or salt of claim 70 wherein  $R_1$  is selected from the group consisting of  $C_{1-6}$  alkyl and pyridyl.
72. The compound or salt of any one of claims 64 through 67 or 69 wherein  $R_1$  is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
73. The compound or salt of claim 72 wherein  $R_1$  is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.
74. The compound or salt of any one of claims 64 through 73 wherein  $R_2$  is hydrogen, alkoxyalkylenyl,  $-R_4$ ,  $-X'-R_4$ , or  $-X'-Y-R_4$ ; wherein  $X'$  is  $C_{1-2}$  alkylene;  $Y$  is  $-S(O)_{0-2}-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-$ ,  $-C(R_6)-O-$ ,  $-O-C(R_6)-$ ,  $-O-C(O)-O-$ ,  $-N(R_8)-Q-$ ,  $-C(R_6)-N(R_8)-$ ,  $-O-C(R_6)-N(R_8)-$ , or  $-C(R_6)-N(OR_9)-$ ; and  $R_4$  is alkyl.
75. The compound or salt of claim 74 wherein  $R_2$  is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.
76. The compound or salt of claim 75 wherein  $R_2$  is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.
77. The compound or salt of any one of claims 64 through 73 wherein  $R_2$  is selected from the group consisting of:
- hydrogen,
- alkyl,

alkenyl,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 5 alkylene-Y"-alkyl,  
 alkylene-Y"-alkenyl,  
 alkylene-Y"-aryl, and  
 alkyl or alkenyl substituted by one or more substituents selected from  
 the group consisting of:

10 hydroxyl,  
 halogen,  
 $-N(R_{8a})_2$ ,  
 $-C(O)-C_{1-10}$  alkyl,  
 $-C(O)-O-C_{1-10}$  alkyl,  
 15  $-N_3$ ,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 $-C(O)-aryl$ , and  
 20  $-C(O)-heteroaryl$ ;

wherein:

Y" is  $-O-$  or  $-S(O)_{0-2}-$ ; and

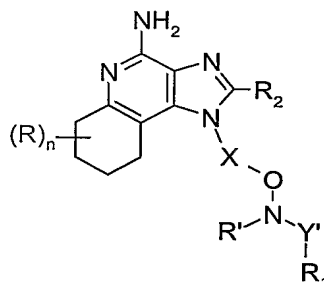
each  $R_{8a}$  is independently selected from the group consisting of  
 hydrogen,  $C_{1-10}$  alkyl, and  $C_{2-10}$  alkenyl.

25

78. The compound or salt of any one of claims 64 through 77 wherein  $R_{A1}$  and  
 $R_{B1}$  are each methyl.

79. A compound of the formula (VII):

30



VII

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
 5 -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally  
 interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

a bond,

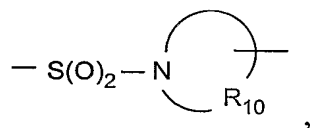
-C(O)-,

10

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

15

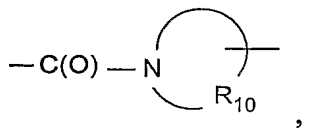
-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

each R is independently selected from the group consisting of:

halogen,

5 hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

15 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

20 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

25 hydroxyl,

alkyl,

haloalkyl,

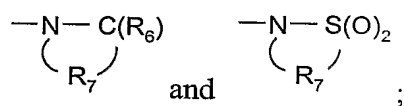
hydroxyalkyl,

alkoxy,

30 dialkylamino,

5 -S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
nitrile,  
nitro,  
10 aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
15 -C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

20 or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



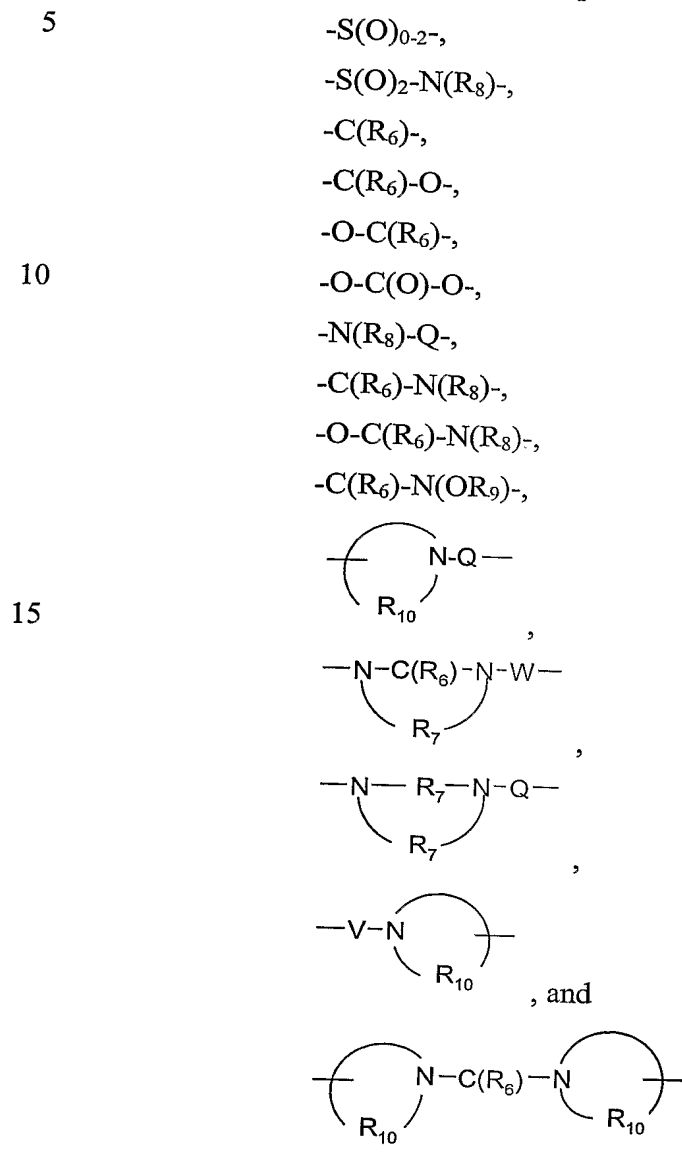
$R_2$  is selected from the group consisting of:

25                -R<sub>4</sub>,  
                    -X'-R<sub>4</sub>,  
                    -X'-Y-R<sub>4</sub>, and  
                    -X'-R<sub>5</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and

alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

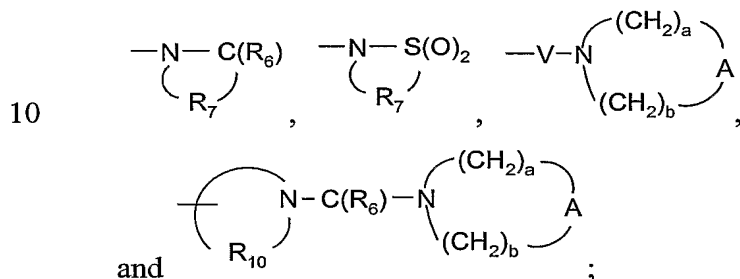
Y is selected from the group consisting of:



20 R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl,

alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



each  $R_6$  is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen,

15 C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

20            each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0.2</sub>-, and -N(R<sub>4</sub>)-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

25 W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-,

-N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; and

n is an integer from 0 to 4;

5 or a pharmaceutically acceptable salt thereof.

80. The compound or salt of claim 79 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein the alkylene is optionally interrupted by one or more -O- groups.

10 81. The compound or salt of claim 80 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

82. The compound or salt of any one of claims 79 through 81 wherein R' is selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.

15

83. The compound or salt of any one of claims 79 through 82 wherein Y' is a bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.

84. The compound or salt of any one of claims 79 through 82 wherein Y' is  
20 -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-.

85. The compound or salt of any one of claims 79 through 82 and 84 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group  
25 consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

86. The compound or salt of claim 85 wherein R<sub>1</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and pyridyl.

30



87. The compound or salt of any one of claims 79 through 82 and 84 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,  
5 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

88. The compound or salt of claim 87 wherein R<sub>1</sub> is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,  
10 -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

89. The compound or salt of any one of claims 79 through 88 wherein R<sub>2</sub> is hydrogen, alkoxyalkylenyl, -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-,  
15 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-, and R<sub>4</sub> is alkyl.

90. The compound or salt of claim 89 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

20 91. The compound or salt of claim 90 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

92. The compound or salt of any one of claims 79 through 88 wherein R<sub>2</sub> is  
25 selected from the group consisting of:

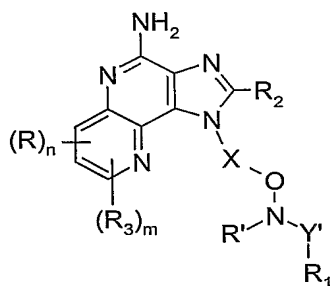
hydrogen,  
alkyl,  
alkenyl,  
aryl,  
30 heteroaryl,

- heterocyclyl,  
alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and  
5 alkyl or alkenyl substituted by one or more substituents selected from  
the group consisting of:  
hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
10 -C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
aryl,  
heteroaryl,  
15 heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

wherein:

- Y" is -O- or -S(O)<sub>0-2</sub>-; and  
20 each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

93. The compound or salt of any one of claims 79 through 92 wherein each R is  
independently selected from the group consisting of alkyl, alkoxy, halogen,  
25 hydroxyl, and trifluoromethyl.
94. The compound or salt of any one of claims 79 through 92 wherein n is 0.
95. A compound of the formula (VIII):



VIII

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
 5 -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally  
 interrupted by one or more -O- groups;

Y' is selected from the group consisting of:

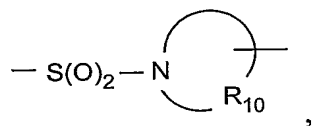
a bond,

-C(O)-,

10 -C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

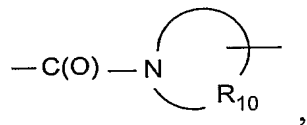
15 -C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



20

-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

each R is independently selected from the group consisting of:

halogen,

5 hydroxyl,

alkyl,

alkenyl,

haloalkyl,

alkoxy,

10 alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,

alkyl,

15 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

20 heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

25 hydroxyl,

alkyl,

haloalkyl,

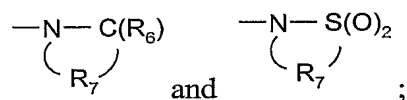
hydroxyalkyl,

alkoxy,

30 dialkylamino,

-S(O)<sub>0-2</sub>-alkyl,  
 -S(O)<sub>0-2</sub>-aryl,  
 -NH-S(O)<sub>2</sub>-alkyl,  
 -NH-S(O)<sub>2</sub>-aryl,  
 haloalkoxy,  
 halogen,  
 nitrile,  
 nitro,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 aryloxy,  
 arylalkyleneoxy,  
 -C(O)-O-alkyl,  
 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-C(O)-alkyl, and  
 -C(O)-alkyl;

or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are  
20 bonded can join to form a ring selected from the group consisting of:



$R_2$  is selected from the group consisting of:

-R<sub>4</sub>,  
-X'-R<sub>4</sub>,  
-X'-Y-R<sub>4</sub>, and  
-X'-R<sub>5</sub>;

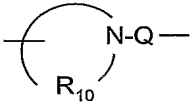
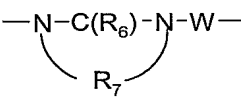
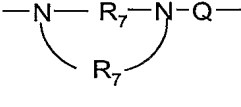
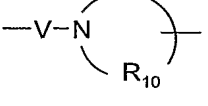
$R_3$  is selected from the group consisting of:

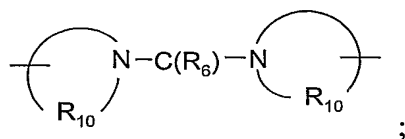
-Z-R<sub>4</sub>,

-Z-X'-R<sub>4</sub>,  
 -Z-X'-Y-R<sub>4</sub>, and  
 -Z-X'-R<sub>5</sub>;

each X' is independently selected from the group consisting of alkylene,  
 5 alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the  
 alkylene, alkenylene, and alkynylene groups can be optionally interrupted or  
 terminated with arylene, heteroarylene, or heterocyclylene, and optionally  
 interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

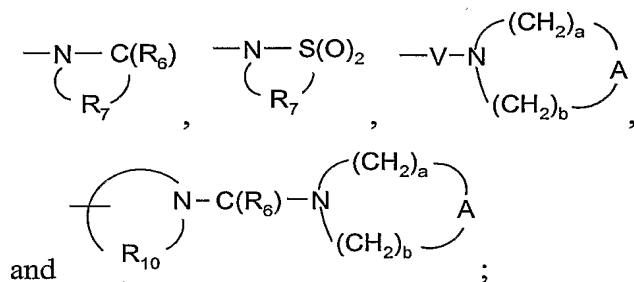
10 -S(O)<sub>0-2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 -C(R<sub>6</sub>)-O-,  
 -O-C(R<sub>6</sub>)-,  
 15 -O-C(O)-O-,  
 -N(R<sub>8</sub>)-Q-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,  
 20 ,  
,  
,  
, and



Z is a bond or -O-;

each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R<sub>5</sub> is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

- $R_{9a}$  is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;  
each  $R_{10}$  is independently  $C_{3-8}$  alkylene;  
each A is independently selected from the group consisting of -O-, -C(O)-,  
5 -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;  
each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;  
each W is independently selected from the group consisting of a bond,  
10 -C(O)-, and -S(O)<sub>2</sub>-;  
each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;  
a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
15 n is an integer from 0 to 3; and  
m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;  
or a pharmaceutically acceptable salt thereof.
96. The compound or salt of claim 95 wherein X is -CH(R<sub>9a</sub>)-alkylene-, wherein  
20 the alkylene is optionally interrupted by one or more -O- groups.
97. The compound or salt of claim 96 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.
- 25 98. The compound or salt of any one of claims 95 through 97 wherein R' is selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.
99. The compound or salt of any one of claims 95 through 98 wherein Y' is a  
30 bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.



100. The compound or salt of any one of claims 95 through 98 wherein Y' is a bond and R' and R<sub>1</sub> are each hydrogen.

101. The compound or salt of any one of claims 95 through 98 wherein Y' is  
5 -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-

102. The compound or salt of any one of claims 95 through 98 and 101 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the  
10 group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

103. The compound or salt of claim 102 wherein R<sub>1</sub> is selected from the group consisting of C<sub>1-6</sub> alkyl and pyridyl.  
15

104. The compound or salt of any one of claims 95 through 98 and 101 wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and heteroaryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen, -O-C(O)-alkyl,  
20 -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

105. The compound or salt of claim 104 wherein R<sub>1</sub> is selected from the group consisting of alkyl and aryl, each of which is optionally substituted by one or more substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,  
25 -S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

106. The compound or salt of any one of claims 95 through 105 wherein R<sub>2</sub> is hydrogen, alkoxyalkylenyl, -R<sub>4</sub>, -X'-R<sub>4</sub>, or -X'-Y-R<sub>4</sub>; wherein X' is C<sub>1-2</sub> alkylene; Y is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-,  
30 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-; and R<sub>4</sub> is alkyl.

107. The compound or salt of claim 106 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

5 108. The compound or salt of claim 107 wherein R<sub>2</sub> is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

10 109. The compound or salt of any one of claims 95 through 105 wherein R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
alkenyl,  
aryl,  
15 heteroaryl,  
heterocyclyl,  
alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and

20 alkyl or alkenyl substituted by one or more substituents selected from the group consisting of:

hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,  
25 -C(O)-C<sub>1-10</sub> alkyl,  
-C(O)-O-C<sub>1-10</sub> alkyl,  
-N<sub>3</sub>,  
aryl,  
heteroaryl,  
30 heterocyclyl,

-C(O)-aryl, and  
-C(O)-heteroaryl;

wherein:

Y" is -O- or -S(O)<sub>0-2</sub>-; and

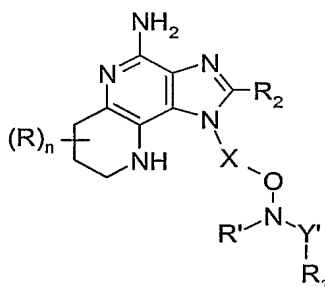
5 each R<sub>8a</sub> is independently selected from the group consisting of  
hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

110. The compound or salt of any one of claims 95 through 109 wherein each R  
is independently selected from the group consisting of alkyl, alkoxy, halogen,  
10 hydroxyl, and trifluoromethyl.

111. The compound or salt of any one of claims 95 through 110 wherein m and n  
are each 0.

15 112. The compound or salt of any one of claims 95 through 110 wherein m is 1,  
and R<sub>3</sub> is phenyl, pyridin-3-yl, pyridin-4-yl, 5-(hydroxymethyl)pyridin-3-yl, 2-  
ethoxyphenyl, 3-(morpholine-4-carbonyl)phenyl, or 3-(*N,N*-  
dimethylaminocarbonyl)phenyl.

20 113. A compound of the formula (IX):



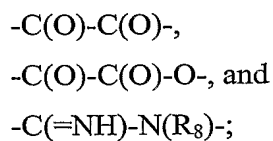
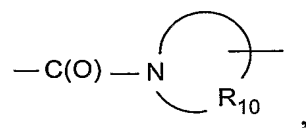
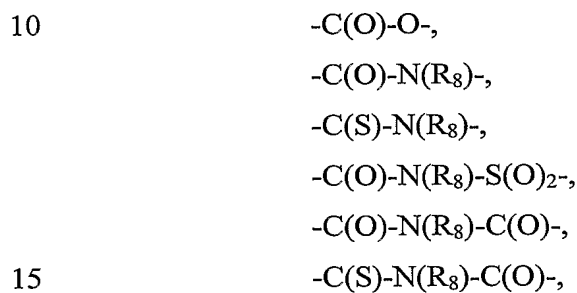
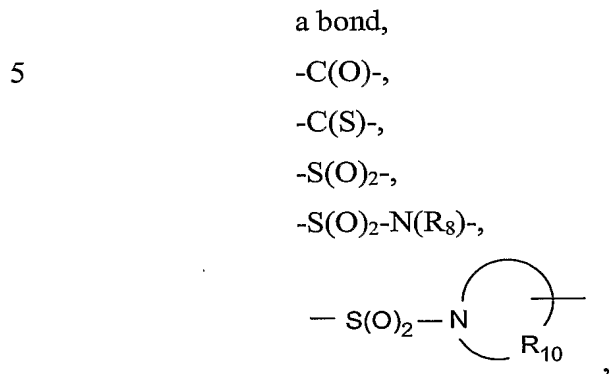
IX

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and

-CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y' is selected from the group consisting of:



20 each R is independently selected from the group consisting of:

halogen,  
 hydroxyl,  
 alkyl,  
 alkenyl,  
 25 haloalkyl,  
 alkoxy,

alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> and R' are independently selected from the group consisting of:

hydrogen,

5

alkyl,

alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

10

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

15

selected from the group consisting of:

hydroxyl,

alkyl,

haloalkyl,

hydroxyalkyl,

20

alkoxy,

dialkylamino,

-S(O)<sub>0-2</sub>-alkyl,

-S(O)<sub>0-2</sub>-aryl,

-NH-S(O)<sub>2</sub>-alkyl,

25

-NH-S(O)<sub>2</sub>-aryl,

haloalkoxy,

halogen,

nitrile,

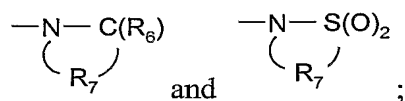
nitro,

30

aryl,

heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-C(O)-alkyl, and  
-C(O)-alkyl;

10 or R<sub>1</sub> and R' together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



$R_2$  is selected from the group consisting of:

15                                -R<sub>4</sub>,  
                                     -X'-R<sub>4</sub>,  
                                     -X'-Y-R<sub>4</sub>, and  
                                     -X'-R<sub>5</sub>;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

25

-S(O)<sub>0-2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
-C(R<sub>6</sub>)-,  
-C(R<sub>6</sub>)-O-,  
-O-C(R<sub>6</sub>)-,

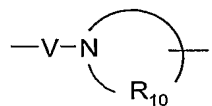
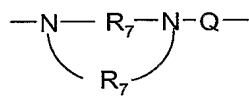
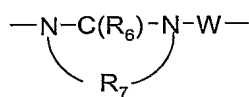
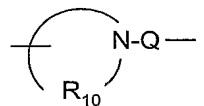
-O-C(O)-O-,

-N(R<sub>8</sub>)-Q-,

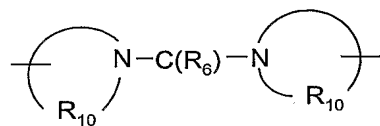
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



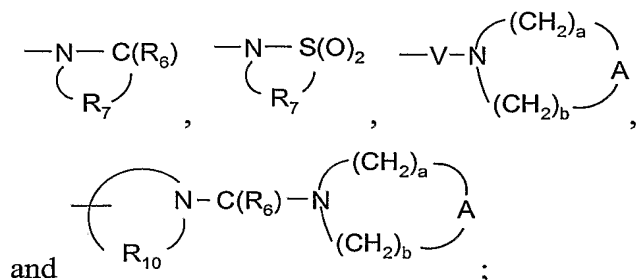
, and



;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

5 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

10 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

A is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

15 Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

20 a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; and

n is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

114. The compound or salt of claim 113 wherein X is -CH(R<sub>9a</sub>)-alkylene-,  
25 wherein the alkylene is optionally interrupted by one or more -O- groups.



115. The compound or salt of claim 114 wherein X is -C<sub>3-5</sub> alkylene- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-.

116. The compound or salt of any one of claims 113 through 115 wherein R' is  
5 selected from the group consisting of hydrogen and C<sub>1-4</sub> alkyl.

117. The compound or salt of any one of claims 113 through 116 wherein Y' is a bond and R<sub>1</sub> is C<sub>1-6</sub> alkyl or aryl C<sub>1-6</sub> alkylenyl.

118. The compound or salt of any one of claims 113 through 116 wherein Y' is  
10 -C(O)-, -S(O)<sub>2</sub>-, or -C(O)-N(R<sub>8</sub>)-.

119. The compound or salt of any one of claims 113 through 116 and 118  
wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and  
15 heteroaryl, each of which is optionally substituted by one or more substituents  
selected from the group consisting of -O-alkyl, -S-alkyl, -S-aryl, halogen,  
-O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

120. The compound or salt of claim 119 wherein R<sub>1</sub> is selected from the group  
20 consisting of C<sub>1-6</sub> alkyl and pyridyl.

121. The compound or salt of any one of claims 113 through 116 and 118  
wherein R<sub>1</sub> is selected from the group consisting of alkyl, alkenyl, aryl, and  
heteroaryl, each of which is optionally substituted by one or more substituents  
25 selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl, -S-aryl, halogen,  
-O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

122. The compound or salt of claim 121 wherein R<sub>1</sub> is selected from the group  
consisting of alkyl and aryl, each of which is optionally substituted by one or more  
30 substituents selected from the group consisting of -O-alkyl, -O-aryl, -S-alkyl,

-S-aryl, halogen, -O-C(O)-alkyl, -C(O)-O-alkyl, haloalkoxy, haloalkyl, and aryl.

123. The compound or salt of any one of claims 113 through 122 wherein  $R_2$  is hydrogen, alkoxyalkylenyl,  $-R_4$ ,  $-X'-R_4$ , or  $-X'-Y-R_4$ ; wherein  $X'$  is  $C_{1-2}$  alkylene;  $Y$  is -S(O)<sub>0-2</sub>-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-O-, -O-C(R<sub>6</sub>)-, -O-C(O)-O-, -N(R<sub>8</sub>)-Q-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-, -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-, or -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-, and  $R_4$  is alkyl.

124. The compound or salt of claim 123 wherein  $R_2$  is selected from the group consisting of hydrogen, alkyl, and alkoxyalkylenyl.

125. The compound or salt of claim 124 wherein  $R_2$  is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, ethoxymethyl, 2-methoxyethyl, and methoxymethyl.

126. The compound or salt of any one of claims 113 through 122 wherein  $R_2$  is selected from the group consisting of:

hydrogen,  
alkyl,  
alkenyl,  
aryl,  
heteroaryl,  
heterocyclyl,  
alkylene-Y"-alkyl,  
alkylene-Y"-alkenyl,  
alkylene-Y"-aryl, and  
alkyl or alkenyl substituted by one or more substituents selected from

the group consisting of:

hydroxyl,  
halogen,  
-N(R<sub>8a</sub>)<sub>2</sub>,

-C(O)-C<sub>1-10</sub> alkyl,  
 -C(O)-O-C<sub>1-10</sub> alkyl,  
 -N<sub>3</sub>,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 -C(O)-aryl, and  
 -C(O)-heteroaryl;

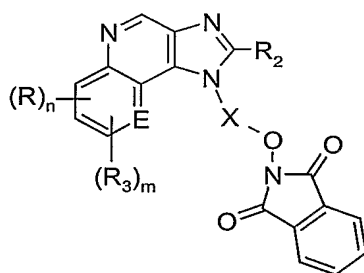
wherein:

Y" is -O- or -S(O)<sub>0-2</sub>; and  
 each R<sub>8a</sub> is independently selected from the group consisting of  
 hydrogen, C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl.

127. The compound or salt of any one of claims 113 through 126 wherein each R  
 is independently selected from the group consisting of alkyl, alkoxy, halogen,  
 hydroxyl, and trifluoromethyl.

128. The compound or salt of any one of claims 113 through 126 wherein n is 0.

129. A compound of the formula (X):



X

wherein:

E is selected from the group consisting of CH, CR, CR<sub>3</sub>, and N, with the proviso that when E is CR<sub>3</sub>, m is 0, and n is 0 or 1, and with the further proviso that when E is CR and m is 1, n is 0;

5 X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and -CH(R<sub>9a</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

each R is independently selected from the group consisting of:

halogen,  
hydroxyl,  
10 alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
15 -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>2</sub> is selected from the group consisting of:

-R<sub>4</sub>,  
-X'-R<sub>4</sub>,  
-X'-Y-R<sub>4</sub>, and  
20 -X'-R<sub>5</sub>;

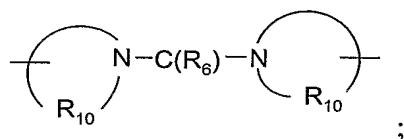
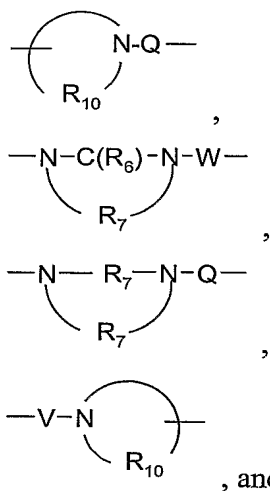
R<sub>3</sub> is selected from the group consisting of:

-Z-R<sub>4</sub>,  
-Z-X'-R<sub>4</sub>,  
-Z-X'-Y-R<sub>4</sub>, and  
25 -Z-X'-R<sub>5</sub>;

each X' is independently selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated with arylene, heteroarylene, or heterocyclylene, and optionally  
30 interrupted by one or more -O- groups;

each Y is independently selected from the group consisting of:

-S(O)<sub>0-2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-,  
 -C(R<sub>6</sub>)-O-,  
 -O-C(R<sub>6</sub>)-,  
 -O-C(O)-O-,  
 -N(R<sub>8</sub>)-Q-,  
 -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
 -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,

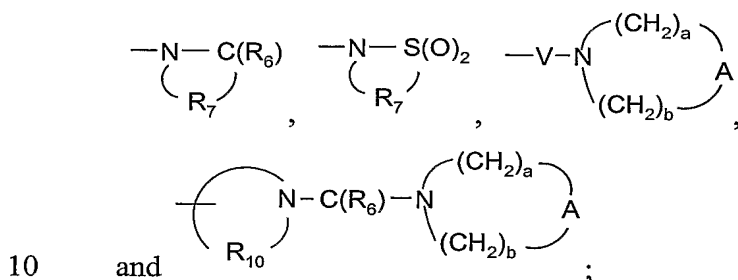


Z is a bond or -O-;

each R<sub>4</sub> is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,

heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

each R<sub>5</sub> is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

15 each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

20 each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0.2</sub>-, and -N(R<sub>4</sub>)-;

each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

25 each W is independently selected from the group consisting of a bond,  
-C(O)-, and -S(O)<sub>2</sub>-;

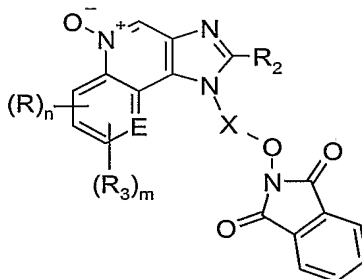
each V is independently selected from the group consisting of  $-C(R_6)-$ ,  $-O-C(R_6)-$ ,  $-N(R_8)-C(R_6)-$ , and  $-S(O)_2-$ ;

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;

5 n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;  
or a pharmaceutically acceptable salt thereof.

130. A compound of the formula (XI):



XI

wherein:

E is selected from the group consisting of CH, CR,  $CR_3$ , and N, with the proviso that when E is  $CR_3$ , m is 0, and n is 0 or 1, and with the further proviso that  
15 when E is CR and m is 1, n is 0;

X is selected from the group consisting of  $-CH(R_{9a})$ -alkylene- and  $-CH(R_{9a})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more  $-O-$  groups;

each R is independently selected from the group consisting of:

- 20
- halogen,
  - hydroxyl,
  - alkyl,
  - alkenyl,
  - haloalkyl,

alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>2</sub> is selected from the group consisting of:

5            -R<sub>4</sub>,  
             -X'-R<sub>4</sub>,  
             -X'-Y-R<sub>4</sub>, and  
             -X'-R<sub>5</sub>;

R<sub>3</sub> is selected from the group consisting of:

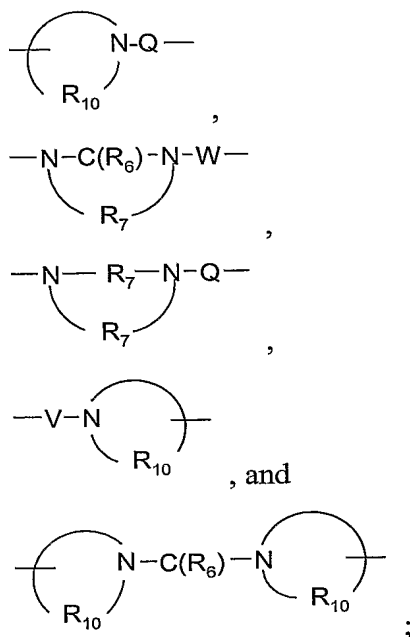
10           -Z-R<sub>4</sub>,  
             -Z-X'-R<sub>4</sub>,  
             -Z-X'-Y-R<sub>4</sub>, and  
             -Z-X'-R<sub>5</sub>;

             each X' is independently selected from the group consisting of alkylene,  
15        alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene, wherein the  
             alkylene, alkenylene, and alkynylene groups can be optionally interrupted or  
             terminated with arylene, heteroarylene, or heterocyclylene, and optionally  
             interrupted by one or more -O- groups;

             each Y is independently selected from the group consisting of:

20           -S(O)<sub>0-2</sub>-,  
             -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,  
             -C(R<sub>6</sub>)-,  
             -C(R<sub>6</sub>)-O-,  
             -O-C(R<sub>6</sub>)-,  
25           -O-C(O)-O-,  
             -N(R<sub>8</sub>)-Q-,  
             -C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
             -O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,  
             -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,





5

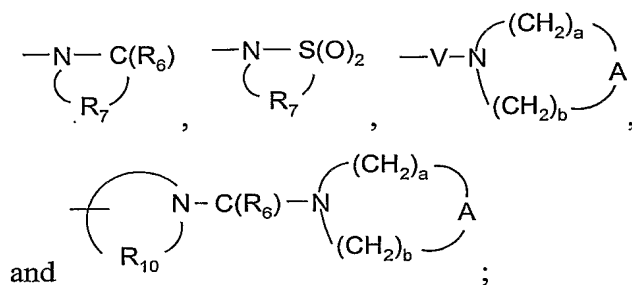
Z is a bond or -O-;

each  $\text{R}_4$  is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl, wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxyl, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

10

15

each  $\text{R}_5$  is independently selected from the group consisting of:



each R<sub>6</sub> is independently selected from the group consisting of =O and =S;

each R<sub>7</sub> is independently C<sub>2-7</sub> alkylene;

5 each R<sub>8</sub> is independently selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

each R<sub>9</sub> is independently selected from the group consisting of hydrogen and alkyl;

10 R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which is optionally interrupted by one or more -O- groups;

each R<sub>10</sub> is independently C<sub>3-8</sub> alkylene;

each A is independently selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

15 each Q is independently selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

each W is independently selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;

20 each V is independently selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

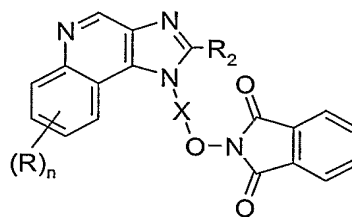
a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7;

n is an integer from 0 to 3; and

m is 0 or 1, with the proviso that when m is 1, n is 0 or 1;

25 or a pharmaceutically acceptable salt thereof.

131. A compound of the formula (XII):



XII

wherein:

5 X is selected from the group consisting of  $-\text{CH}(\text{R}_{9a})\text{-alkylene-}$  and  $-\text{CH}(\text{R}_{9a})\text{-alkenylene-}$ ;

each R is independently selected from the group consisting of alkyl, alkoxy, halogen, hydroxyl, and trifluoromethyl;

$\text{R}_2$  is selected from the group consisting of:

10 hydrogen,  
alkyl,  
alkenyl,  
aryl,  
heteroaryl,  
15 heterocyclyl,  
alkylene- $\text{Y}''$ -alkyl,  
alkylene- $\text{Y}''$ -alkenyl,  
alkylene- $\text{Y}''$ -aryl, and  
alkyl or alkenyl substituted by one or more substituents selected from  
20 the group consisting of:  
hydroxyl,  
halogen,  
 $-\text{N}(\text{R}_{8a})_2$ ,  
 $-\text{C}(\text{O})\text{-C}_{1-10}$  alkyl,  
25  $-\text{C}(\text{O})\text{-O-C}_{1-10}$  alkyl,  
 $-\text{N}_3$ ,

aryl,  
heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl;

Y" is -O- or -S(O)<sub>0-2</sub>;

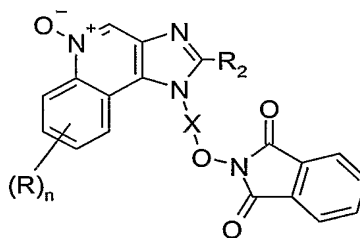
each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
be optionally interrupted by one or more -O- groups; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

132. A compound of the formula (XIII):



XIII

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
-CH(R<sub>9a</sub>)-alkenylene-;

each R is independently selected from the group consisting of alkyl, alkoxy,  
halogen, hydroxyl, and trifluoromethyl;

R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
alkyl,  
alkenyl,  
aryl,

heteroaryl,  
 heterocyclyl,  
 alkylene-Y''-alkyl,  
 alkylene-Y''-alkenyl,  
 5 alkylene-Y''-aryl, and  
 alkyl or alkenyl substituted by one or more substituents selected from

the group consisting of:

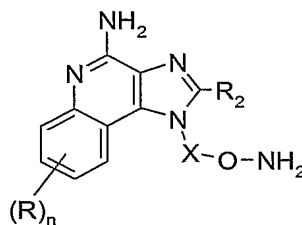
hydroxyl,  
 halogen,  
 10 -N(R<sub>8a</sub>)<sub>2</sub>,  
 -C(O)-C<sub>1-10</sub> alkyl,  
 -C(O)-O-C<sub>1-10</sub> alkyl,  
 -N<sub>3</sub>,  
 aryl,  
 15 heteroaryl,  
 heterocyclyl,  
 -C(O)-aryl, and  
 -C(O)-heteroaryl;

Y'' is -O- or -S(O)<sub>0-2</sub>;  
 20 each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
 C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
 be optionally interrupted by one or more -O- groups; and

n is an integer from 0 to 4;  
 25 or a pharmaceutically acceptable salt thereof.

133. A compound of the formula (XIV):



XIV

wherein:

X is selected from the group consisting of -CH(R<sub>9a</sub>)-alkylene- and  
 5 -CH(R<sub>9a</sub>)-alkenylene-;

each R is independently selected from the group consisting of alkyl, alkoxy,  
 halogen, hydroxyl, and trifluoromethyl;

R<sub>2</sub> is selected from the group consisting of:

hydrogen,  
 10 alkyl,  
 alkenyl,  
 aryl,  
 heteroaryl,  
 heterocyclyl,  
 15 alkylene-Y''-alkyl,  
 alkylene-Y''-alkenyl,  
 alkylene-Y''-aryl, and

alkyl or alkenyl substituted by one or more substituents selected from  
 the group consisting of:

20 hydroxyl,  
 halogen,  
 -N(R<sub>8a</sub>)<sub>2</sub>,  
 -C(O)-C<sub>1-10</sub> alkyl,  
 -C(O)-O-C<sub>1-10</sub> alkyl,  
 25 -N<sub>3</sub>,  
 aryl,

heteroaryl,  
heterocyclyl,  
-C(O)-aryl, and  
-C(O)-heteroaryl,

5           Y" is -O- or -S(O)<sub>0-2</sub>;

each R<sub>8a</sub> is independently selected from the group consisting of hydrogen,  
C<sub>1-10</sub> alkyl, and C<sub>2-10</sub> alkenyl;

R<sub>9a</sub> is selected from the group consisting of hydrogen and alkyl which may  
be optionally interrupted by one or more -O- groups; and

10           n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

134. A pharmaceutical composition comprising a therapeutically effective  
amount of a compound or salt of any one of claims 1 through 128 in combination  
15 with a pharmaceutically acceptable carrier.

135. A method of inducing cytokine biosynthesis in an animal comprising  
administering an effective amount of a compound or salt of any one of claims 1  
through 128 to the animal.

20

136. A method of treating a viral disease in an animal in need thereof comprising  
administering a therapeutically effective amount of a compound or salt of any one  
of claims 1 through 128 to the animal.

25   137. A method of treating a neoplastic disease in an animal in need thereof  
comprising administering a therapeutically effective amount of a compound or salt  
of any one of claims 1 through 128 to the animal.